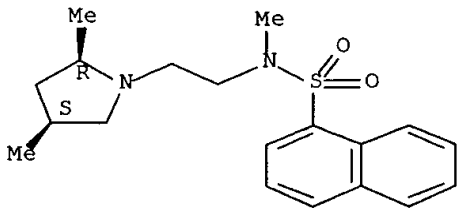
L33 ANSWER 58 OF 221 CAPLUS COPYRIGHT 2001 ACS
AN 1994:298467 CAPLUS
DN 120:298467
TI Heterocyclic antiarrhythmic agents
IN Gerard, Nadler Guy Marguerite Marie; Alain, Bril Antoine Michel
PA Beecham Laboratoires, Fr.
SO Fr. Demande, 12 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2694003	A1	19940128	FR 1992-9003	19920721

OS MARPAT 120:298467
AB The title compds. R1(R2)NAN(R3)SO2R4 [A = (un)substituted C2-6 alkylene; NR1R2 = (un)substituted 5-7 membered monocyclic heterocyclic substituent; R3 = C1-3 alkyl; R4 = (un)substituted naphthyl, H, halogen, quinolinyl, etc.], useful for the treatment of cardiac arrhythmia, are prepd. Thus, N-[2-(1-cis-2,4-dimethylpyrrolidinyl)ethyl]-1-naphthalensulfonamide was condensed with NaH and MeI, and salified with HCl, producing N-methyl-N-[2-(1-cis-2,4-dimethylpyrrolidinyl)ethyl]-1-naphthalenesulfonamide hydrochloride (I), m.p. 53-55.degree.. I demonstrated 33% augmentation of the refractory period in isolated ferret papillary muscle at 10 .mu.M.
IT **155019-18-4P 155019-19-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiarrhythmic agent)
RN 155019-18-4 CAPLUS
CN 1-Naphthalenesulfonamide, N-[2-(2,4-dimethyl-1-pyrrolidinyl)ethyl]-N-methyl-, monohydrochloride, cis- (9CI) (CA INDEX NAME)

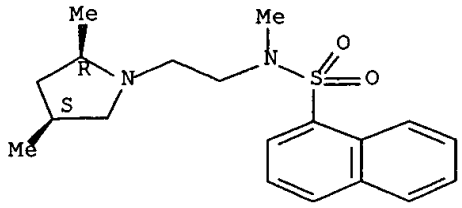
Relative stereochemistry.



● HCl

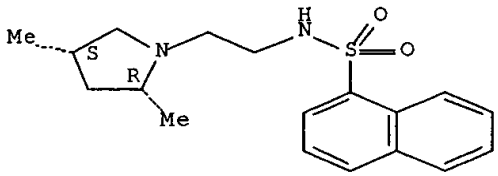
RN 155019-19-5 CAPLUS
CN 1-Naphthalenesulfonamide, N-[2-(2,4-dimethyl-1-pyrrolidinyl)ethyl]-N-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **77709-56-9**
RL: RCT (Reactant)
(reaction of, in prepn. of antiarrhythmic agents)
RN 77709-56-9 CAPLUS
CN 1-Naphthalenesulfonamide, N-[2-(2,4-dimethyl-1-pyrrolidinyl)ethyl]-, cis-
(9CI) (CA INDEX NAME)

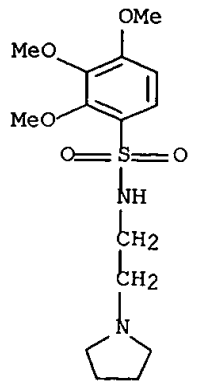
Relative stereochemistry.



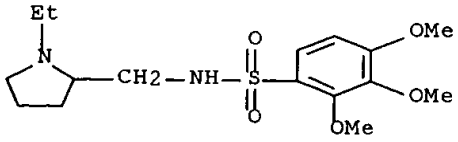
L33 ANSWER 59 OF 221 CAPLUS COPYRIGHT 2001 ACS
AN 1994:298360 CAPLUS
DN 120:298360
TI Preparation of carbapenem derivatives as medical bactericides
IN Nakagawa, Susumu; Ootake, Kenichi; Nakano, Fumio; Yamada, Koji; Ushijima,
Ryosuke; Murase, Satoshi; Fukatsu, Hiroshi
PA Banyu Pharma Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 51 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 05230063	A2	19930907	JP 1992-72633	19920221

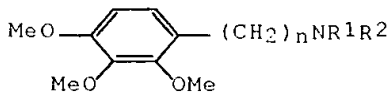
OS MARPAT 120:298360
GI



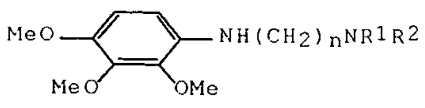
RN 103595-50-2 CAPLUS
CN Benzenesulfonamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-2,3,4-trimethoxy- (9CI) (CA INDEX NAME)



L33 ANSWER 126 OF 221 CAPLUS COPYRIGHT 2001 ACS
AN 1986:472086 CAPLUS
DN 105:72086
TI Compounds with the trimethoxyphenylsulfonyl group. III. Synthesis and pharmacological activity of 2,3,4-trimethoxyphenylsulfonyl-substituted derivatives
AU Boudet-Dalbin, Raymond; Durand, Suzanne; Adam, Yves; Moreau, Robert C.; Foussard-Blanpin, Odette
CS Lab. Chim. Therapeut., Fac. Pharm., Paris, 75270, Fr.
SO Eur. J. Med. Chem.--Chim. Ther. (1986), 21(2), 131-7
CODEN: EJMCA5; ISSN: 0223-5234
DT Journal
LA French
OS CASREACT 105:72086
GI



I

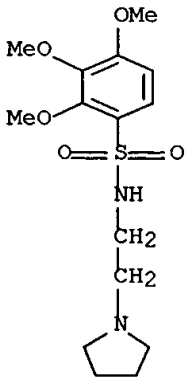


II

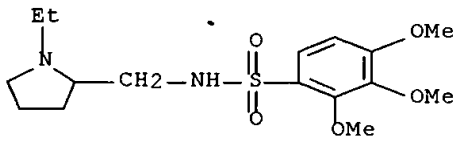
AB Six aminoalkyl sulfones (I) and 7 aminoalkyl sulfonamides (II) (R1 and R2
= alkyl or cyclic; n = 1-3) contg. the title group were prepd. and screened for activity on the central nervous system of mice. The results allowed I and II to be classified as psycholeptics, and most were central nervous system depressants. The contribution of the side chain to the activity is discussed.

IT **103595-49-9P 103595-50-2P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prepn. and pharmacol. of)

RN 103595-49-9 CAPLUS
CN Benzenesulfonamide, 2,3,4-trimethoxy-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

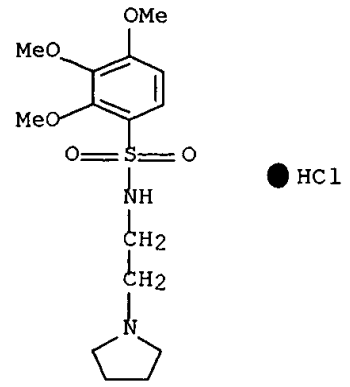


RN 103595-50-2 CAPLUS
CN Benzenesulfonamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-2,3,4-trimethoxy- (9CI) (CA INDEX NAME)

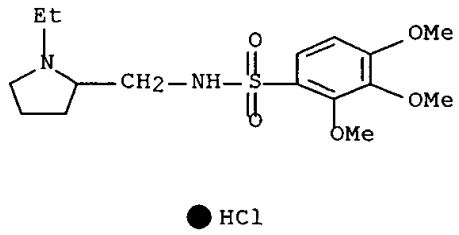


IT **103595-62-6P 103595-63-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 103595-62-6 CAPLUS
CN Benzenesulfonamide, 2,3,4-trimethoxy-N-[2-(1-pyrrolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 103595-63-7 CAPLUS
CN Benzenesulfonamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-2,3,4-trimethoxy-,
monohydrochloride (9CI) (CA INDEX NAME)



L33 ANSWER 127 OF 221 CAPLUS COPYRIGHT 2001 ACS
AN 1986:200213 CAPLUS
DN 104:200213
TI Guanidine derivatives for treating gastrointestinal motility dysfunction
IN Kuhla, Donald E.; Studt, William L.; Campbell, Henry F.; Yelnosky, John
PA Rorer, William H., Inc., USA
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

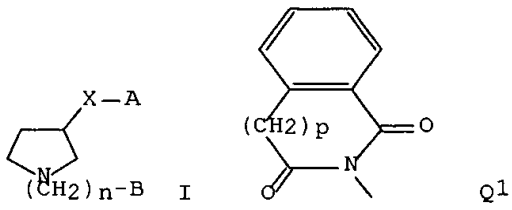
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4563475	A	19860107	US 1984-570528	19840113

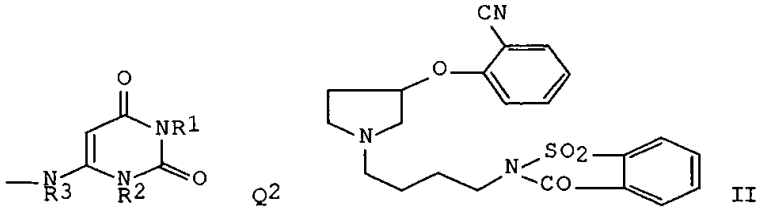
AB (Heterocycle substituted acetyl)guanidines XCRR1CON:C(NR2R3)(NR4R5) [R, R1 = H, alkyl; R2-R5 = H, alkyl, aroyl, arylalkanoyl; R3R5 = alkylene; X = (un)substituted 1H-pyrrol-1-yl, 2,5-dihydro-1H-pyrrol-1-yl, 1-pyrrolidinyl] and their salts and pharmaceutical formulations are described for possible treatment of gastrointestinal motility disorders,

AN 1990:235290 CAPLUS
DN 112:235290
TI Preparation of 1,3-disubstituted pyrrolidines as serotonin (partial) agonists and antagonists
IN Schohe, Rudolf; Seidel, Peter Rudolf; Traber, Jorg; Glaser, Thomas
PA Bayer A.-G., Fed. Rep. Ger.
SO Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 338331	A1	19891025	EP 1989-106023	19890406
	EP 338331	B1	19921021		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	DE 3835291	A1	19891102	DE 1988-3835291	19881015
	AT 81652	E	19921115	AT 1989-106023	19890406
	ES 2045229	T3	19940116	ES 1989-106023	19890406
	US 5037841	A	19910806	US 1989-336977	19890412
	AU 8933059	A1	19891026	AU 1989-33059	19890414
	AU 625817	B2	19920716		
	IL 89973	A1	19930131	IL 1989-89973	19890417
	DK 8901864	A	19891020	DK 1989-1864	19890418
	JP 01311059	A2	19891215	JP 1989-96549	19890418
	ZA 8902823	A	19891227	ZA 1989-2823	19890418
	US 5274097	A	19931228	US 1991-682785	19910409
	US 5453437	A	19950926	US 1993-118376	19930908
PRAI	DE 1988-3812989		19880419		
	DE 1988-3835291		19881015		
	EP 1989-106023		19890406		
	US 1989-336927		19890412		
	US 1989-336977		19890412		
	US 1991-682785		19910409		

OS MARPAT 112:235290
GI

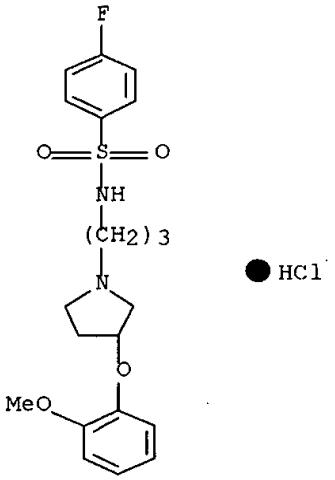




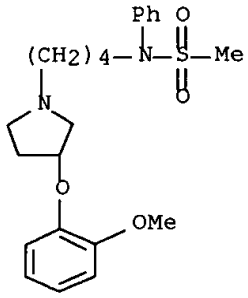
AB The title compds. [I; A = (fused) heteroaryl; B = cyano, CO2R1, CONR2R3, SO2NR2R3, SOmR4, NR5R6, C.tplbond.CCH2NR5R6; X = OCH2, CH2O, O; R1 = H, C1-12 alkyl, C5-8 cycloalkyl, C2-12 alkenyl, aryl, aralkyl; R2, R3 = H, C1-17 alkyl, (un)substituted aryl, etc.; R5,R6 = COR2, SO2R8, any of definitions for R2, R3; R7 = NHR9, C1-12 alkyl, C1-17 alkoxy, etc.; R8 = C5-8 cycloalkyl, (un)substituted C1-12 alkyl, (un)substituted (hetero)aryl, NR2R3; R9 = H, C5-8 cycloalkyl, (un)substituted C1-12 alkyl, aralkyl, (hetero)aryl, etc.; NR5R6 can form a (fused) heterocyclic ring, e.g., Q1, Q2, etc.; n = 1-10; n = 0-2] and their salts were prepd. as 5-hydroxytryptamine agonists, partial agonists (no data), and antagonists, useful for treatment of serotoninergic system-related CNS diseases. A mixt. of 3-(2-cyanophenoxy)pyrrolidine, 2-(4-bromobutyl)benzothiazol-3(2H)-one-1,1-dioxide, and Et3N in DMF was stirred 20 h at 45.degree. to give II which was converted to its oxalate. The latter in vitro antagonized serotonin with an inhibition const. Ki = 2 nM.

IT **127341-41-7P 127341-57-5P 127366-99-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotonin agonist or antagonist)

RN 127341-41-7 CAPLUS
CN Benzenesulfonamide, 4-fluoro-N-[3-[3-(2-methoxyphenoxy)-1-pyrrolidinyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

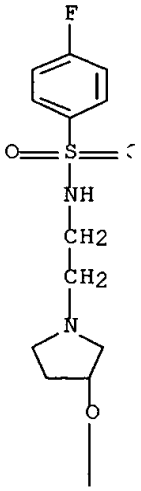


RN 127341-57-5 CAPLUS
CN Methanesulfonamide, N-[4-[3-(2-methoxyphenoxy)-1-pyrrolidinyl]butyl]-N-phenyl- (9CI) (CA INDEX NAME)

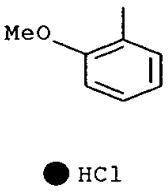


RN 127366-99-8 CAPLUS
CN Benzenesulfonamide, 4-fluoro-N-[2-[3-(2-methoxyphenoxy)-1-pyrrolidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

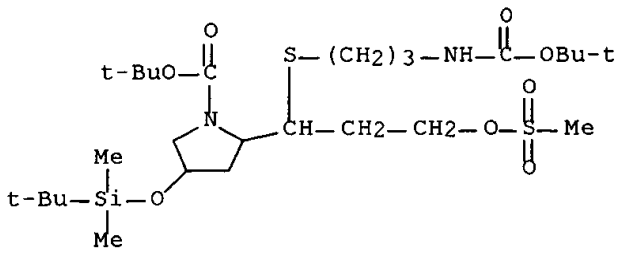
PAGE 1-A



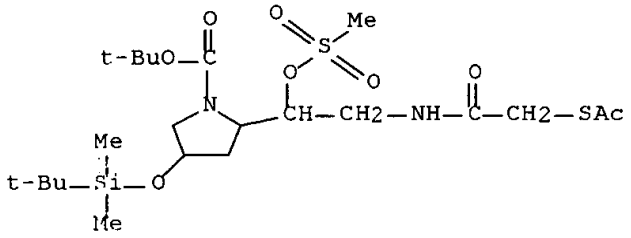
PAGE 2-A



L33 ANSWER 99 OF 221 CAPLUS COPYRIGHT 2001 ACS
AN 1990:216752 CAPLUS
DN 112:216752



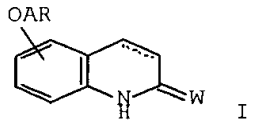
RN 154577-61-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[2-[[(acetylthio)acetyl]amino]-1-[(methylsulfonyl)oxy]ethyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L33 ANSWER 60 OF 221 CAPLUS COPYRIGHT 2001 ACS
AN 1994:270133 CAPLUS
DN 120:270133
TI Preparation of carbostyril derivatives as blood platelet aggregation inhibitors.
IN Sato, Seiji; Yukawa, Hirotaka; Kihara, Yoshito; Koga, Nobuyuki; Saito, Mashiro; Nishi, Takao
PA Otsuka Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 218 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9304042	A1	19930304	WO 1992-JP1041	19920818
	W: AU, CA, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
	CA 2093633	AA	19930224	CA 1992-2093633	19920818
	AU 9224292	A1	19930316	AU 1992-24292	19920818
	AU 653060	B2	19940915		
	EP 569592	A1	19931118	EP 1992-917806	19920818
	R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
	JP 05194405	A2	19930803	JP 1992-221206	19920820
	US 5506239	A	19960409	US 1993-39301	19930422

US 5658926 A 19970819 US 1995-541579 19951010
PRAI JP 1991-211268 19910823
WO 1992-JP1041 19920818
US 1993-39301 19930422
OS MARPAT 120:270133
GI



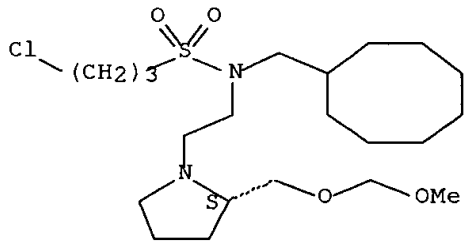
I

AB 6-(4-Bromobutoxy)carbostyril, 1-[2-(benzylamino)ethyl]-4-methoxymethoxypiperidine (prepn. given), and NaHCO3 in DMF at 100.degree. for 6 h gave the title compd. 6-[4-[N-[2-(4-methoxymethoxy-1-piperidinyl)ethyl]benzylamino]butoxy]carbostyril. The title compds. [I; A = alkylene; R = (un)substituted amino, un(substituted) sulfamoyl, etc.; W = O, S] are prepd. Heating a mixt. of 6-(4-bromobutoxy)carbostyril, 1-[2-(benzylamino)ethyl]-4-methoxymethoxypiperidine (prepn. given), and NaHCO3 in DMF at 100.degree. for 6 h gave the title compd. 6-[4-[N-[2-(4-methoxymethoxy-1-piperidinyl)ethyl]benzylamino]butoxy]carbostyril. In an in vitro study I [W = O, O-A-R = 6-O-(CH2)3-N(CH2-Q)CH2-CH2-Q1, Q = cyclooctyl, Q1 = 4-hydroxy-1-piperidinyl] (also prepd.) had an IC50 of 10 .mu.M against ADP-induced blood platelet aggregation.

IT **151642-44-3P 151642-45-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for blood platelet aggregation inhibitors)

RN 151642-44-3 CAPLUS
CN 1-Propanesulfonamide, 3-chloro-N-(cyclooctylmethyl)-N-[2-[2-[(methoxymethoxy)methyl]-1-pyrrolidinyl]ethyl]-, (S)- (9CI) (CA INDEX NAME)

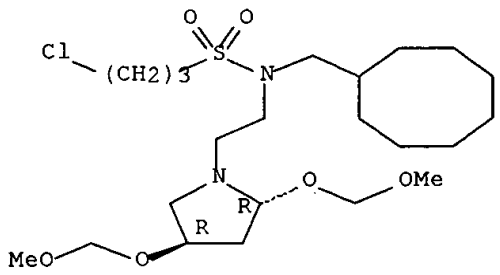
Absolute stereochemistry.



RN 151642-45-4 CAPLUS

CN 1-Propanesulfonamide, N-[2-[2,4-bis(methoxymethoxy)-1-pyrrolidinyl]ethyl]-
3-chloro-N-(cyclooctylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

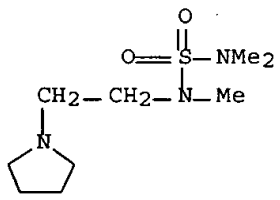


L33 ANSWER 61 OF 221 CAPLUS COPYRIGHT 2001 ACS
AN 1994:192314 CAPLUS
DN 120:192314
TI Preparation of L-pyroglutamyl-L-histidyl-L-tryptophyl-L-seryl-L-tyrosylglycyl-L-leucyl-L-arginyl-L-prolylglycinamide
IN Masiukiewicz, Elzbieta; Rzeszotarska, Barbara
PA Wyzsza Szkola Pedagogiczna im. Powstancow Slaskich, Pol.
SO Pol., 13 pp.
CODEN: POXXA7
DT Patent
LA Polish
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PL 161342	B1	19930630	PL 1989-282259	19891109

AB The title gonadotropin, also known as gonadoliberin or luliberin, is prepd. in a high-yielding process by azide condensation of two peptide segments, the hexapeptide L-pyroglutamyl-L-histidyl-L-tryptophyl-L-seryl-L-tyrosylglycine hydrazide (I) with a tetrapeptide obtained by redn. of an N.alpha.-benzyloxycarbonyl deriv. in the presence of Pd/C catalyst; the tetrapeptide is obtained as the L-leucyl-L-arginyl-L-prolylglycinamide 1-hydroxybenzotriazolium salt by reaction of N.alpha.-benzyloxycarbonyl-L-arginine with L-prolylglycinamide 1-hydroxybenzotriazolium salt in the presence of dicyclohexylcarbodiimide (DCC) activator and 1-hydroxybenzotriazole to suppress side reactions, removal of the protecting N.alpha.-benzyloxycarbonyl group with H in the presence of Pd/C catalyst, addn. of N.alpha.-benzyloxycarbonyl-L-leucine, DCC, and 1-hydroxybenzotrizole, and isolation of the N.alpha.-benzyloxycarbonyl-L-leucyl-L-arginyl-L-prolylglycinamide 1-hydroxybenzotriazolium salt thus obtained by chromatog. on silica gel in a solvent system contg. HOAc, which converts the product salt to an acetate which is then reduced with H

AN 1983:16264 CAPLUS
DN 98:16264
TI Alkylations of trialkylsulfonyldiamides
AU Unterhalt, Bernard; Seebach, Edmar
CS Inst. Pharm. Chem., Univ. Marburg, Marburg/Lahn, D-3550, Fed. Rep. Ger.
SO Archiv der Pharmazie (Weinheim, Germany) (1982), 315(10), 852-7
CODEN: ARPMAS; ISSN: 0365-6233
DT Journal
LA German
OS CASREACT 98:16264
AB Me2NSO2NHMe reacted with ClCH2OEt or ClCH2R1 (R1 = SMe, SPr, SBu) under conditions of phase transfer catalysis (PhCH2N+Me3.Cl-) to give 38% Me2NSO2NRCH2R1 (I; R = Me, R1 = OEt) and 22-42% I (R = Me, R1 = SMe, SPr, SBu). Aminomethylation with HCHO and secondary amines gave 53-65% I (R = Me, R1 = NMe2, NEt2, piperidino, morpholino). Although ClCH2CH2OCH2Ph did not react, 19-75% I [R = Me, R1 = CH2SEt, CH2SCH2Ph, CH2NMe2, CH2NEt2, CH2N(CHMe2)2, 1-pyrrolidinylmethyl, piperidinomethyl] could be obtained. Me2NSO2NHCH2Ph and ClCH2OEt gave 20% I (R = CH2Ph, R1 = OEt), Et2NSO2NHMe, HCHO, and Me2NH gave 72% Et2NSO2NMeCH2NMe2, and Me2NSO2NNaMe or Me2NSO2NNaEt with Cl(CH2)3NEt2 gave 90 and 85% I (R = Me, Et; R1 = CH2CH2NEt2).
IT **83961-42-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83961-42-6 CAPLUS
CN Sulfamide, trimethyl[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



AN 1983:16264 CAPLUS
DN 98:16264
TI Alkylations of trialkylsulfonyldiamides
AU Unterhalt, Bernard; Seebach, Edmar
CS Inst. Pharm. Chem., Univ. Marburg, Marburg/Lahn, D-3550, Fed. Rep. Ger.
SO Archiv der Pharmazie (Weinheim, Germany) (1982), 315(10), 852-7
CODEN: ARPMAS; ISSN: 0365-6233
DT Journal
LA German
OS CASREACT 98:16264
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RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83961-42-6 CAPLUS
CN Sulfamide, trimethyl[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)